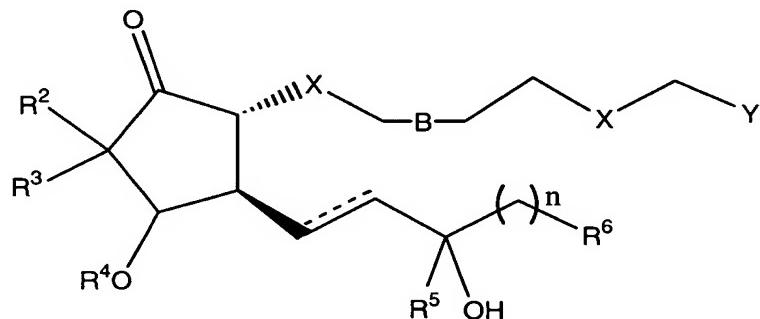


CLAIMS

What is claimed is:

1. A method of treating ocular hypertension or glaucoma which comprises
 5 administering to an animal having ocular hypertension or glaucoma a
 therapeutically effective amount of a compound represented by the general
 Formula I:

**Formula I**

10

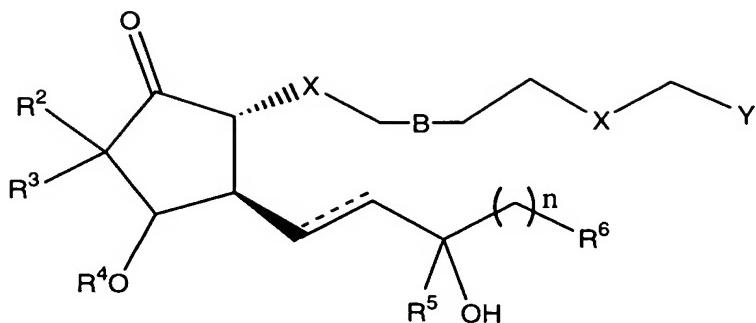
- wherein the dashed lines indicate the presence or absence of a bond, the hatched wedges indicate the α (down) configuration, and the solid triangles indicate the β (up) configuration;
- B is a single, double, or triple covalent bond;
- n is 0-6;
- X is CH₂, S or O;
- Y is CONHCH₂CH₂OH or CON(CH₂CH₂OH)₂,
- 20 R is H, C₁₋₆ alkyl or C₂₋₆ alkenyl;
- R² and R³ are C₁₋₆ linear alkyl which may be the same or different, and may be bonded to each other such that they form a ring incorporating the carbon to which they are commonly attached;
- R⁴ is hydrogen, R, C(=O)R, or any group that is easily removed under physiological conditions such that R⁴ is effectively hydrogen;
- 25 R⁵ is hydrogen or R; and

R⁶ is

- i) hydrogen;
- ii) a linear or branched hydrocarbon containing between 1 and 8 carbon atoms, which may contain one or more double or triple bonds, or 5 oxygen or halogen derivatives of said hydrocarbon, wherein 1-3 carbon or hydrogen atoms may be substituted by O or a halogen; or
- iii) aryloxy, heteroaryloxy, C₃₋₈ cycloalkyloxy, C₃₋₈ cycloalkyl, C₆₋₁₀ aryl or C₃₋₁₀ heteroaryl, wherein one or more carbons is substituted with 10 N, O, or S; and which may contain one or more substituents selected from the group consisting of halogen, trihalomethyl, cyano, nitro, amino, hydroxy, C₆₋₁₀ aryl, C₃₋₁₀ heteroaryl, aryloxy, heteroaryloxy, C₁₋₆ alkyl, OR, SR, and SO₂R.

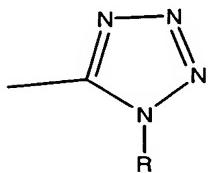
2. A method of treating ocular hypertension or glaucoma which comprises administering to an animal having ocular hypertension or glaucoma a 15 therapeutically effective amount of a compound selected from the group consisting of (3-{(1*R*,4*S*,5*S*)-5-(3-chloro-benzo[b]thiophen-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentylsulfanyl}-propylsulfanyl)-acetic acid methyl ester (**21, 22**);
20 (3-{(1*R*,4*S*,5*S*)-5-(3-chloro-benzo[b]thiophen-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentylsulfanyl}-propylsulfanyl)-acetic acid (**23, 24**);
(*Z*)-7-{(1*R*,4*S*,5*R*)-5-[(*E*)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-yneic acid methyl ester (**34, 35**);
25 (*Z*)-7-{(1*R*,4*S*,5*R*)-5-[(*E*)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-yneic acid (**36,37**);
(*Z*)-7-{(1*R*,4*S*,5*R*)-5-[(*E*)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-enoic acid methyl ester (**38,39**);
30 (*Z*)-7-{(1*R*,4*S*,5*R*)-5-[(*E*)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-enoic acid (**40,41**);

- (*Z*)-7-[(1*R*,4*S*,5*R*)-4-Hydroxy-5-((*E*)-3-hydroxy-5-phenyl-pent-1-enyl)-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid methyl ester (**50,51**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-4-Hydroxy-5-((*E*)-3-hydroxy-5-phenyl-pent-1-enyl)-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (**52,53**)
- 5 (*Z*)-7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (**54,55**)
- 7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-heptanoic acid (**56,57**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-5-(4-Benzo[*b*]thiophen-2-yl-3-hydroxy-butyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (**58,59**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid ethylamide (**60,61**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid diethylamide
- 10 (**62,63**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (2-hydroxy-ethyl)-amide (**64,65**)
- (3*S*,4*R*,5*R*)-4-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-3-hydroxy-
- 20 2,2-dimethyl-5-[(*Z*)-6-(1-H-tetrazol-5-yl)-hex-2-enyl]-cyclopentanone (**66,67**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid amide (**68,69**)
- (*Z*)-7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid methyl ester (**70,71**)
- 25 7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-ynoic acid methyl ester (**72,73**)
- 7-[(1*R*,4*S*,5*R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-ynoic acid (**74,75**).
3. A compound represented by Formula I:

**Formula I**

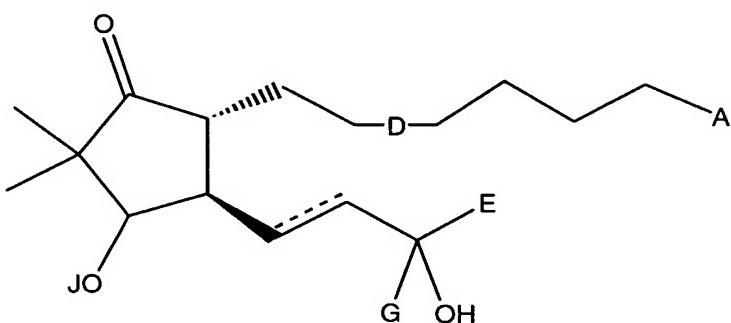
wherein the dashed lines indicate the presence or absence of a bond, the hatched wedges indicate the α (down) configuration, and the solid triangles indicate the β (up) configuration;

- B is a single, double, or triple covalent bond;
- n is 0-6;
- X is CH_2 , S or O;
- Y is any pharmaceutically acceptable salt of CO_2H , or CO_2R , CONR_2 , $\text{CONHCH}_2\text{CH}_2\text{OH}$, $\text{CON}(\text{CH}_2\text{CH}_2\text{OH})_2$, CH_2OR , $\text{P}(\text{O})(\text{OR})_2$, CONRSO_2R , SONR_2 , or

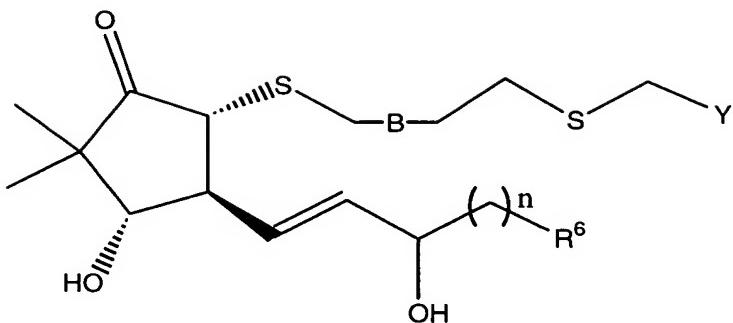


- R is H, C_{1-6} alkyl or C_{2-6} alkenyl;
- R^2 and R^3 are C_{1-6} linear alkyl which may be the same or different, and may be bonded to each other such that they form a ring incorporating the carbon to which they are commonly attached;
- R^4 is hydrogen, R, $\text{C}(=\text{O})\text{R}$, or any group that is easily removed under physiological conditions such that R^4 is effectively hydrogen;
- R^5 is hydrogen or R;
- R^6 is
 - i) hydrogen;

- ii) a linear or branched hydrocarbon containing between 1 and 8 carbon atoms, which may contain one or more double or triple bonds, or oxygen or halogen derivatives of said hydrocarbon, wherein 1-3 carbon or hydrogen atoms may be substituted by O or a halogen; or
- 5 iii) aryloxy, heteroaryloxy, C_{3-8} cycloalkyloxy, C_{3-8} cycloalkyl, C_{6-10} aryl or C_{3-10} heteroaryl, wherein one or more carbons is substituted with N, O, or S; and which may contain one or more substituents selected from the group consisting of halogen, trihalomethyl, cyano, nitro, amino, hydroxy, C_{6-10} aryl, C_{3-10} heteroaryl, aryloxy, heteroaryloxy, C_{1-6} alkyl, OR, SR, and SO_2R ; and
- 10 the compound of Formula I is not a compound of Formula II

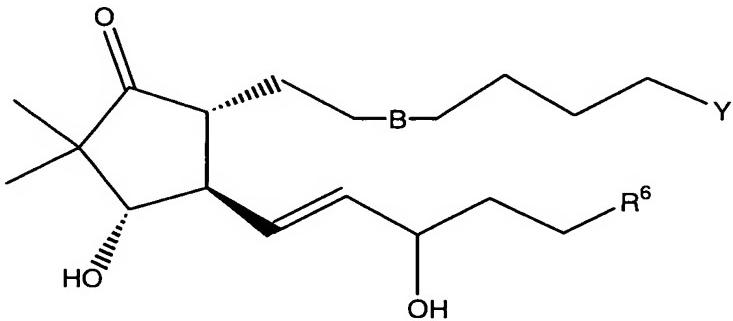
**Formula II**

- wherein A is CO_2H , CO_2Me , or CO_2Et ;
- 15 D is a single, double, or triple covalent bond;
- E is a linear, branched, or cycloalkyl chain of 3 to 7 carbons, trifluoromethylbutyl, hydroxylalkyl, or CH_2R^7 wherein R^7 is phenyl, cyclopentyl, phenoxy, chlorophenoxy, propoxy, or $-\text{CH}_2\text{SCH}_2\text{CH}_3$;
- J is hydrogen, R, $\text{C}(=\text{O})\text{R}$, or any group that is easily removed under physiological conditions such that R^4 is effectively hydrogen; and
- 20 G is H or CH_3 .
4. The compound of claim 18 wherein A is CO_2R^8 , wherein R^8 is any linear, branched, or cyclic alkyl group having from 3 to 6 carbons.
5. The compound of claim 18 which is further represented by Formula III

**Formula III**

wherein Y is CO₂R, or any pharmaceutically acceptable salt of CO₂H.

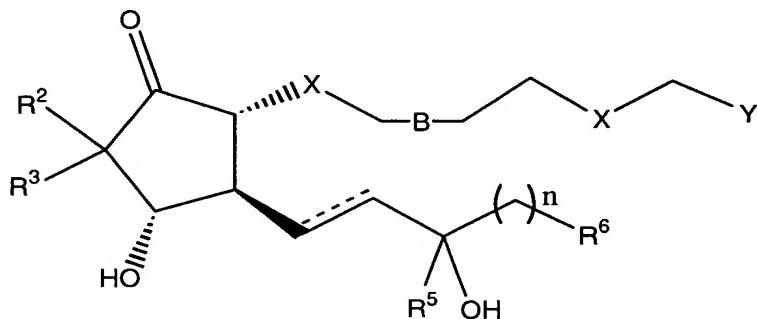
- 6. The compound of claim 19 wherein R⁶ is C₆₋₁₀ aryl or C₃₋₁₀ heteroaryl, wherein one or more carbons is substituted with N, O, or S; and which may
- 5 contain one or more substituents selected from the group consisting of halogen, trihalomethyl, cyano, nitro, amino, hydroxy, C₁₋₆ alkyl, OR, SR, and SO₂R.
- 7. The compound of claim 20 wherein R⁶ is naphthyl, benzofuranyl, or benzothienyl, which may contain one or more substituents selected from the group consisting of halogen, trihalomethyl, cyano, nitro, amino, hydroxy, C₁₋₆ alkyl, OR, SR, and SO₂R.
- 10 8. The compound of claim 21 wherein Y is CO₂H or CO₂Me.
- 9. The compound of claim 22 where R⁶ is 3-chlorobenzothien-2-yl.
- 10. The compound of claim 23 where n is 2.
- 11. The compound of claim 24 where B is a single bond.
- 15 12. The compound of claim 18 which is further represented by Formula IV

**Formula IV**

wherein Y is CO₂R or any pharmaceutically acceptable salt of CO₂H; and

R^6 is C_{6-10} aryl or C_{3-10} heteroaryl, wherein one or more carbons is substituted with N, O, or S; and which may contain one or more substituents selected from the group consisting of halogen, trihalomethyl, cyano, nitro, amino, hydroxy, C_{1-6} alkyl, OR, SR, and SO_2R .

- 5 13. The compound of claim 26 wherein Y is CO_2H or CO_2Me .
- 14. The compound of claim 27 wherein R^6 is phenyl.
- 15. The compound of claim 28 wherein B is a double bond.
- 16. The compound of claim 27 wherein R^6 is napthyl, benzofuranyl, or benzothienyl, which may contain one or more substituents selected from the
- 10 group consisting of halogen, trihalomethyl, cyano, nitro, amino, hydroxy, C_{1-6} alkyl, OR, SR, and SO_2R .
- 17. The compound of claim 30 wherein R^6 is 3-chlorobenzothien-2-yl.
- 18. The compound of claim 31 wherein B is a double or triple bond.
- 19. The compound of claim 18 which is further represented by Formula V



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Formula V

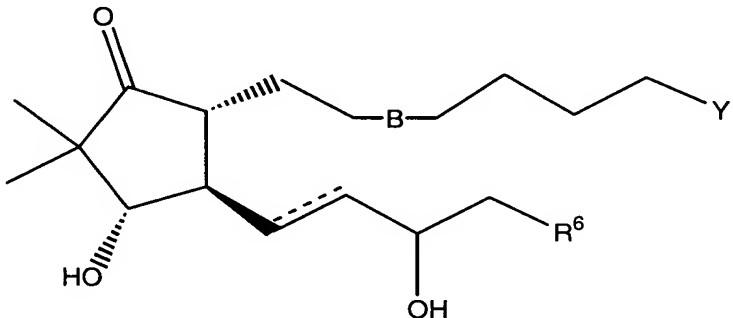
wherein at least one of R^2 and R^3 is not methyl.

- 20. The compound of claim 33 wherein R^2 and R^3 have a total number of carbon atoms of 6 or less.
- 21. The compound of claim 34 wherein R^5 is hydrogen.
- 20 22. The compound of claim 18 wherein said compound is selected from the group consisting of
(3-<{((1R,4S,5S)-5-(3-chloro-benzo[b]thiophen-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentylsulfanyl}-propylsulfanyl)-acetic acid methyl ester (**21**, **22**);

- (3-<{(1R,4S,5S)-5-(3-chloro-benzo[b]thiophen-2-yl)-3-hydroxy-pent-1-enyl}-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentylsulfanyl}-propylsulfanyl)-acetic acid (23, 24);
- (Z)-7-<{(1R,4S,5R)-5-[(E)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-ynoic acid methyl ester (34, 35);
- (Z)-7-<{(1R,4S,5R)-5-[(E)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-ynoic acid (36,37);
- (Z)-7-<{(1R,4S,5R)-5-[(E)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-enoic acid methyl ester (38,39);
- (Z)-7-<{(1R,4S,5R)-5-[(E)-5-(3-chloro-benzo[b]thiophene-2-yl)-3-hydroxy-pent-1-enyl]-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl}-hept-5-enoic acid (40,41);
- (Z)-7-<[(1R,4S,5R)-4-Hydroxy-5-((E)-3-hydroxy-5-phenyl-pent-1-enyl)-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid methyl ester (50,51)
- (Z)-7-<[(1R,4S,5R)-4-Hydroxy-5-((E)-3-hydroxy-5-phenyl-pent-1-enyl)-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (52,53)
- (Z)-7-<[(1R,4S,5R)-5-((E)-4-Benzo[b]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (54,55)
- 20 7-<[(1R,4S,5R)-5-((E)-4-Benzo[b]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-heptanoic acid (56,57)
- (Z)-7-<[(1R,4S,5R)-5-(4-Benzo[b]thiophen-2-yl-3-hydroxy-butyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (58,59)
- (Z)-7-<[(1R,4S,5R)-5-((E)-4-Benzo[b]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid ethylamide (60,61)
- 25 (Z)-7-<[(1R,4S,5R)-5-((E)-4-Benzo[b]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid diethylamide (62,63)
- (Z)-7-<[(1R,4S,5R)-5-((E)-4-Benzo[b]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid (2-hydroxy-ethyl)-amide (64,65)

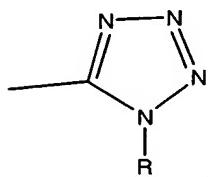
- (*3S,4R,5R*)-4-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-3-hydroxy-2,2-dimethyl-5-[(*Z*)-6-(1-H-tetrazol-5-yl)-hex-2-enyl]-cyclopentanone (**66,67**)
 (*Z*)-7-[(*1R,4S,5R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid amide (**68,69**)
 5 (*Z*)-7-[(*1R,4S,5R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-enoic acid methyl ester (**70,71**)
 7-[(*1R,4S,5R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-yneic acid methyl ester (**72,73**)
 7-[(*1R,4S,5R*)-5-((*E*)-4-Benzo[*b*]thiophen-2-yl-3-hydroxy-but-1-enyl)-4-hydroxy-3,3-dimethyl-2-oxo-cyclopentyl]-hept-5-yneic acid (**74,75**).
 10

23. The compound of claim 18 which is further represented by Formula XIII



Formula XIII

- wherein B represents a single or double bond;
 and R⁶ is napthyl, benzofuranyl, or benzothienyl, which may contain one or
 15 more substituents selected from the group consisting of halogen, trihalomethyl,
 cyano, nitro, amino, hydroxy, C₁₋₆ alkyl, OR, SR, and SO₂R.
 24. The compound of claim 47 wherein R⁶ is benzothien-2-yl.
 25. The compound of claim 48 wherein Y is any pharmaceutically acceptable salt of CO₂H, or CO₂R, CONR₂, CONHCH₂CH₂OH,
 20 CON(CH₂CH₂OH)₂, or



26. The compound of claim 49 wherein the dashed line indicates the presence of a bond and B is a double bond.
27. The compound of claim 49 wherein the dashed line indicates the presence of a bond and B is a single bond.
- 5 28. The compound of claim 49 wherein the dashed line indicates the absence of a bond and B is a double bond.